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(54) Compositions containing flavonoids

(57) Cosmetic compositions for brightening the skin and removing or brightening dark skin spots comprise a flavonoid and ascorbic acid or its derivatives. Optional components are kojic acid, tocopheryl and sun filters. Product may be a lotion, gel, cream or spray. Flavonoids may be in form of plant extracts.

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Several causes, including genetic control and environmental factors such as ultra violet irradiation and hormones, regulate melanogenesis. Abnormal response of melanocytes to the above causes may result in increase in accumulation of melanin in the skin in the form of brown spots.

SUMMARY OF THE INVENTION

The present invention relates to novel cosmetic compositions, for topical application to a user's skin, which result in whitening of the skin and which prevent to a large extent formation of brown spots.

The compositions of the invention comprise in combination flavonoids, which are effective in eliminating or at least greatly reducing the quantity of pigments which have formed in the skin as a result of UV irradiation, and ascorbic acid or a suitable derivative thereof. Ascorbic acid (or its physiologically acceptable derivatives) result in reduction of DOPA-quinone to DOPA, and also convert colored oxidized melanin to a colorless reduced form thereof. Advantageously there are used flavonoids derived from plant extracts, or plant extracts as such which contain an adequate concentration of such flavonoids. Synthetic flavonoids can also be used. Compositions of the present invention also are suitable for reduction of melanin formation in early stages of such formation and for prevention of formation of new spots. Novel fade compositions of this invention exert a pronounced whitening and brightening effect on brown skin spots.

Preferred plant extracts for use according to the invention are those obtained from Achillea millefolium, Calendula, Sage, Witch hazel and Mulberries.

Preparations of the invention advantageously contain a suitable carrier or vehicle, and also one or more additional component selected from tocopherol derivatives, Kojic acid; UVA, UVB and visible light chemical and physical sun filters; minerals such as titanium dioxide. Suitable sun filters are of the commercial readily available form, such as octyl methoxycinnamate, butyl methoxydibenzoylmethane, etc. A wide variety of formulations can be used, and the following illustrations exemplify some possible types of their formulations.

Generally formulations of the invention contain as ingredients from about 0.1 weight-% of an extract of one or more of the following: Achillea millefolium, Calendula, Sage, Witch hazel, Mulberries. Other plant extracts containing appreciable quantities of flavonoids can be used. The formulations generally contain from about 0.1 weight-% to about 30 weight-% of such plant extracts, or a corresponding quantity of purified flavonoids. The second ingredient is a physiologically acceptable derivative of ascorbic acid, such as ascorbyl palmitate, ascorbyl stearate, magnesium ascorbyl phosphate and the like. The second ingredient comprises about 0.1 weight-% to about 5 weight-% of the composition.

Licorice extract, 0.01 weight-% to about 5 weight-% is a preferred component.

The formulations advantageously also contain as an ingredient a suitable sun filter, such as for example UVA, UVB and visible light; octyl methoxycinnamate, butyl methoxydibenzoyl-

methane. A further substance of similar effect is TiO_2. Generally these ingredients comprise from about 2 weight-% to about 10 weight-% of the formulation. A further optional, advantageous ingredient is a suitable tocopheryl derivative, such as tocopheryl linoleate. Compounds of this type, when used, comprise from about 0.1 weight-% to about 5 weight-% of the formulation.

Another optional advantageous ingredient, adapted to suppress tyrosinase activity, probably due to copper chelation, is Kojic acid. This ingredient is used at a concentration from about 0.1 weight-% to about 5 weight-% of the formulation.

The following examples, which are to be construed in a non-limitative manner, illustrate the preparation of a number of effective formulations of the invention in cream, lotion, spray and gel form:

Example 1: Cream	
Extract of Achillea millefolium	20%
Magnesium ascorbyl palmitate	5%
Cream base ad	100

Example 2: Cream	
Extract of Mulberries	25%
Ascorbic acid	0.1%
Cream base ad	100

Example 3: Cream	
Extract of Achillea millefolium	15%
Ascorbyl stearate	5%
TiO_2	10%
Cream base ad	100

Example 4: Lotion	
Extract of Achillea millefolium	10%
Ascorbyl palmitate	0.5%
Octyl methoxycinnamate	7.5%
Butyl methoxydibenzoylmethane	0.5%
TiO_2	10%
Kojic acid	3%
Lotion base ad	100

Example 5: Lotion	
Extract of Achillea millefolium	5%
Ascorbyl palmitate	0.5%
Benzophenone	5%
TiO_2	10%
Tocopheryl linoleate	1%
Lotion base ad	

Example 6: Lotion	
Kojic acid	2%
TiO_2	5%
Lotion base ad	

Example 7: Gel	
Kojic Acid	0.1%
UVA & UVB sun filters	
Gel base ad	100

Example 8: Lotion	
Ascorbyl palmitate	0.1%
Tocopheryl linoleate	3%
Kojic acid	0.5%
Lotion base ad	100

Example 9: Cream

Ingredient	%
Ascorbyl palmitate	4
Achillea millefolium, water soluble extract (containing 3% flavonoids)	1
Tocopheryl linoleate	0.1
Octyl methoxycinnamate	2
Butyl methoxydibenzoylmethane	0.75
TiO_2	1
Kojic acid	0.1
Panthenol	1
Chamomile ext	0.1
IPM	2
BHT	0.04
Preservatives	0.5
Stearic acid	4
Na_2EDTA	0.1
DEA cetyl phosphate	3.5
Cetyl alcohol	2
Glycerin stearate	4
Aq dest ad	100

Example 10: Cream

Ingredient	%
Ascorbyl palmitate	0.1
Achillea millefolium, water soluble extract (containing 3% flavonoids)	30
Tocopheryl linoleate	5
Octyl methoxycinnamate	7
Butyl methoxydibenzoylmethane	3
TiO_2	10
Kojic acid	5
Panthenol	1
Chamomile ext	0.1
IPM	2
BHT	0.04
Preservatives	0.5
Stearic acid	4
Na_2EDTA	0.1
DEA cetyl phosphate	3.5
Cetyl alcohol	2
Glycerin stearate	4
Aq dest ad	100

Example 11: Lotion

Ingredient	%
Ascorbyl palmitate	0.1
Achillea millefolium, water soluble extract (containing 3% flavonoids)	30
Tocopheryl linoleate	5
Octyl methoxycinnamate	7
Butyl methoxydibenzoylmethane	3
TiO_2	10
Kojic acid	5
Panthenol	1
Chamomile ext	0.1
IPM	2
BHT	0.04
Preservatives	0.5
Stearic acid	2
Na_2EDTA	0.1
DEA cetyl phosphate	3.5
Cetyl alcohol	0.2
Glycerin stearate	2
Aq dest ad	

Example 12: Lotion

Ingredient	%
Ascorbyl palmitate	4
Achillea millefolium, water soluble extract (containing 3% flavonoids)	1
Tocopheryl linoleate	0.1
Octyl methoxycinnamate	2
Butyl methoxydibenzoylmethane	0.75
TiO_2	1
Kojic acid	0.1
Panthenol	1
Chamomile ext	0.1
IPM	2
BHT	0.04
Preservatives	0.5
Stearic acid	2
Na_2EDTA	0.1
DEA cetyl phosphate	3.5
Glycerin stearate	2
Aq dest ad	100

Example 13: Gel

Ingredient	%
Ascorbyl palmitate	0.5
Achillea millefolium, water soluble extract (containing 3% flavonoids)	1
Polysorbate	6
Carbopol	1
Triethanolamine	4.2
Preservative	1
Kojic acid	5
TiO_2	1
Tocopheryl linoleate	2
Panthenol	1
Phenylbenzimidazol-5 sulfonic acid	6
Water ad	100

Example 14: Gel

Ingredient	%
Ascorbyl palmitate	3
Achillea millefolium, water soluble extract (containing 3% flavonoids)	25
Tocopheryl linoleate	0.5
Polysorbate	6
Carbopol	1
Triethanolamine	1.6
Preservative	1
Kojic acid	0.1
Phenylbenzimidazol-5 sulfonic acid	0.1
TiO_2	1
Panthenol	1
Water ad	100

Example 15: Liquid

Ingredient	%
Ascorbyl palmitate	3
Achillea millefolium, water soluble extract (containing 3% flavonoids)	25
Tocopheryl linoleate	0.5
Polysorbate	10
Triethanolamine	0.05
Preservative	1
Kojic acid	0.1
Phenylbenzimidazol-5 sulfonic acid	0.1
TiO_2	10
Panthenol	1
Water ad	100

Example 16: Liquid

Ingredient	%
Ascorbyl palmitate	0.5
Achillea millefolium, water soluble extract (containing 3% flavonoids)	1
Polysorbate	10
Preservative	1
Kojic acid	5
TiO_2	1
Tocopheryl linoleate	2
Panthenol	1
Phenylbenzimidazol-5 sulfonic acid	6
Triethanolamine	3.1
Water ad	100

Example 17: Gel

Ingredient	%
Ascorbyl palmitate	3
Sohakuhl (mulberries) water soluble extract	20
Tocopheryl linoleate	0.5
Polysorbate	6
Carbopol	1
Triethanolamine	1.6
Preservative	1
Kojic acid	0.1
Phenylbenzimidazol-5 sulfonic acid	0.1
TiO_2	1
Panthenol	1
Water ad	100

Example 18: Gel

Ingredient	%
Ascorbyl palmitate	0.5
Sohakuhl (mulberries) water soluble extract	1
Polysorbate	6
Carbopol	1
Triethanolamine	4.2
Preservative	1
Kojic acid	5
TiO_2	10
Tocopheryl linoleate	1
Panthenol	1
Phenylbenzimidazol-5 sulfonic acid	6
Water ad	100

EXAMPLE 19

Ingredients	%
Cetyl alcohol	5
Glycerylstearate SE	3
Capryl/caprylate triglyceride	3
P E G 6000 distearate	1
Achillea millefolium ext	1
Licorice ext	0.05
Ascorbyl palmitate	0.5
Tocopheryl linoleate	0.2
Titanium dioxide	2
Glycolic acid	5
Preservatives	9.5
Xantan gum	0.3
NH OH ad	pH-4
H O dest ad	100

EXAMPLE 20

Ingredients	%
Achillea millefolium ext	2
Kojic acid	0.5
Ascorbyl palmitate	0.2
-hydroxyacid (as glycolic acid)	5
Lotion base ad	100

In the following Examples the licorice extract used was licorice extract TP (Glycyrrhiza Glabra) produced by Nikko Chemicals, Japan. Percentages are by weight.

EXAMPLE 21

Ingredients	%
Licorice extract	0.05
Extract of Achillae millefolium	3.0
Ascorbyl palmitate	0.5
Cream base ad	100

EXAMPLE 22

Ingredients	%
Licorice extract	0.1
Ascorbyl palmitate	1.0
Tocopheryl linoleate	0.5
Lotion base ad	100

EXAMPLE 23

Ingredients	%
Licorice extract	4.0
Achillea millefolium ext	3.0
Tocopheryl linoleate	0.5
Butyl methoxydibenzoylmethane	1.5
Titanium dioxide	5.0
Chamomille ext	0.1
I P M	2.0
BHT	0.04

Preservatives	0.5
Stearic acid	2.0
Na EDTA	0.1
DEA cetyl phosphate	3.5
Cetyl alcohol	0.2
Glycerin stearate	2.0
Aq. dest ad	100

It will be understood by those familiar with formulation of cosmetic products that wide deviations may be made from the foregoing preferred formulations, without departing from a main theme of invention set forth in claims which follow.

Extensive experiments were carried out which led to the compositions of the present invention.

Criteria used in the evaluations were arbitrary scales of value of 0,1,2 and 3 regarding number of spots, regarding color of spots, level of contrast. Skin types were categorized in four categories according to severity of sunburn and ease of tanning. Experiments were carried out with human female and male subjects who agreed with the tests. They were between the ages of 50 and 92 years, and only such subjects were tested who had bilateral symmetrical age spots on the dorsum surface of both hands, who had an adequate number of such spots which were of a dark enough color and contrast.

Tests were carried out with the main components, each by itself, and after this various combinations were tested, and different dosages (concentrations) were employed.

Ascorbic acid and its derivatives exerted a certain effect, but at relatively high doses. Also the various flavonoids mentioned were tested by themselves, and had a certain effect.

It was found surprisingly that the combination of these two components increased the effect in a pronounced manner, even when smaller doses were used than before. The addition to these of either tocopheryl derivatives or of kojic acid further enhanced the whitening effect, and this lso in a manner which can be explained by a synergistic effect.

It is likely that the various components, and especially ascorbic acid and its derivatives, as well as the flavonoids exert their effect via various pathways.

This applies also to kojic acid which apparently inactivates an enzyme enhancing melanin formation.
The whitening effect of the compositions of the present invention is a very pronounced one and requires application of a formulation of the invetion during about 2 to 3 months.
As stated above, it is very likely that ascorbic acid, its derivatives together with the flavonoids defined, exert a synergistic effect, which is further enchanced by components such as tocopherol or kojic acid.

CLAIMS:

1. A composition for the brightening of skin and skin spots by topical application, in solution, lotion, gel, spray or cream form, comprising in combination an effective quantity of a flavonoid and an effective quantity of ascorbic acid or a suitable derivative thereof, if desired in combination with a sun filter, an agent adapted to suppress tyrosinase activity or a tocopheryl derivative.
2. A composition according to Claim 1, where the flavonoid is in the form of a plant extract or in purified form derived from Achillea Millefolium, Candula, Sage, Witch Hazel and Mulberries.
3. A composition according to Claim 1 or 2, where the sun filter is one or more UVA, UVB, IR and/or visible light such as octyl methoxycinnamate, butyl methoxydibenzoyl-methane and titanium dioxide.
4. A composition according to any of Claims 1 to 3, where the DOPA-quinone reducing agent is ascorbic acid, ascorbyl palmitate, ascorbyl stearate, magnesium ascorbyl phosphate or any other suitable physiologically acceptable derivative of ascorbic acid.
5. A composition according to any of Claims 1 to 4, containing a tocopheryl derivative.
6. A composition according to any of Claims 1 to 5, containing kojic acid.

7. A composition according to any of Claims 1 to 6, containing from about 0.1 weight-% to about 30 weight-% of purified flavonoid, or a plant extract containing an equivalent quantity of flavonoid.

8. A composition according to any of Claims 1 to 7, containing from about 0.1 weight-% to about 5 weight-% of ascorbic acid or of a derivative thereof.

9. A composition according to any of Claims 1 to 8, containing from about 1 to 10 weight-% of a sun filter.

10. A composition according to any of Claims 1 to 9, containing from about 0.1 to about 5 weight-% Kojic acid

11. Cosmetic skin brightening compositions for topical application, comprising ascorbic acid or a derivative thereof and a flavonoid, optionally with other components, diluents, cream bases, gel forming agents, substantially as hereinbefore described and with reference to any of the Examples.

12. A composition according to Claim 3, where the plant extract is an extract of licorice (Glycyrrhiza Glabra).

Patents Act 1977
Examiner's report to the Comptroller under Section 17 (The Search Report)

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Relevant Technical fields

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Databases (see over)

(i) UK Patent Office

(ii) ONLINE DATABASES: WPI, CLAIMS, CAS ONLINE

Date of Search
18.11.92

Documents considered relevant following a search in respect of claims 1-12

Category (see over)	Identity of document and relevant passages	Relevant to claim(s)
X	US 4668516 (DURAFFOURD) see column 3 lines 3-10, Claims 1	1,4
X	RIV. ITALE. ESSENZE. COSMET. 61(1) pages 2-9 (See CA 90(22): 174502p)	1,4

Category	Identity of document and relevant passages	Relevant to claim(s)

Categories of documents

X: Document indicating lack of novelty or of inventive step.

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A: Document indicating technological background and/or state of the art.

P: Document published on or after the declared priority date but before the filing date of the present application.

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